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(57) Abstract

A feeding stimulant for stimulating feeding activity in termites, comprising a compound having at least two OR groups, each of which is a substituent of an aryl moiety, and R is hydrogen or an organic group, and addition compounds thereof.

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TERMITE ATTRACTANT AND/OR FEEDING STIMULANT

TECHNICAL FIELD

The present invention is concerned with attractants and/or feeding stimulants for termites and, more particularly, with attractants and/or feeding stimulants for use in termite baits and as a component of termiticidal compositions.

10 BACKGROUND ART

Organochlorines have underpinned termite control around the world including in Australia, for many decades. With the ban on the use of organochlorines for termite control in Australia since 1995 and earlier or at similar times in other countries, increasing efforts are being mounted to develop alternative termite management systems. Bait systems for the control of active termite infestations are considered increasingly the key management option for such situations.

- In bait systems termites are offered a matrix on which the insects ought to feed in preference to other food sources available to a termite colony. Termites either take up a slow-acting, non-repellent lethal product which is incorporated into the food (matrix) or the termites which aggregate in the matrix are directly treated with such a product. In both scenarios the agent is transported into the nest by the foragers and there distributed throughout the colony either via food exchange or mutual grooming between nest mates.
- Following considerable research around the world there is now a growing awareness that just finding an effective bait toxin, initially thought to be the main impediment to the application of baits, is no guarantee at all that a

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bait system will work effectively in practice. Control strategies relying on baits have to cope with the fact that termites have a choice and that the insects cannot be forced to make contact with the baits. Termites have to be able to locate a bait station in the first place, and once it is found, be attracted to it in significant numbers so that adequate transfer of the toxin from the bait site to the colony can occur. Differences in behaviour between species of termite, between colonies within a species and between conditions at various sites potentially restrict the effectiveness of this control strategy. Currently used bait matrices, in most cases just straight cellulose products (timber, cardboard, paper), do not necessarily ensure contact and build up of termite numbers in bait stations in a reliable, predictable fashion.

Attempts have been made to enhance the attraction of termites to bait matrices through the addition attractant compounds. For example, International Application WO99/07218 describes the use of 2,4 heptadienal as an attractant for social pest insects such as wasps and termites. United States Patent No. 5,637,298 describes 2-4 naphthalenemethanol derivative substituted at the 7 or 8 position of the naphthalene ring structure by methyl, ethyl, propyl or isopropyl, and indicates that these compounds increase bait acceptance by termites. Likewise, United States Patent No. 5,756,114 describes incorporation of certain aromatic compounds including resorcylic acid, protecatechuic acid and vanillic acid into baits on the basis that they act as food odour attractants. These compounds apparently mimic the trail-marking pheromone (Z, Z, E) - 3, 6, 8-dodecatrien-1-ol. Thus, while they termite aggregation they do not necessarily stimulate feeding behaviour, and any increased feeding may

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be a consequence only of the increased numbers of termites at a selected site.

Termites social are insects and the organisation of termite colonies largely depends chemical signals present in the environment or produced by members of the colony. These signals modulate a variety of behaviours including foraging for food or exploitation of a food source. For example, during termites release a chemical feeding, signal from exocrine gland that stimulates nest mates to feed at the site, thereby ensuring a rapid and efficient exploitation of the food source.

All species of termite have paired labial glands located in the thorax. The glandular ducts join in the head with those of the water sacs and the contents are secreted from the mouth as saliva. This secretion has been reported to have various functions depending on the species, and has variously been identified as a defensive substance in soldier termites, a regulator of nest microclimate, a supporter of fungal cultivation in the nest or as a social nutrient. In addition, the labial glands have been said to secrete a cementing substance for nest construction or gallery building and have been identified as a source of digestive enzymes.

More recently, Reinhard et al., Journal of Chemical Ecology, Vol. 23 No. 10, 1997 concluded that the labial gland secretion may play a pheromonal role during food exploitation, and that this might be a general phenomenon in termites. Reinhard et al. took labial gland extracts and used these in feeding choice tests. They observed that the labial gland secretion carries a signal that stimulates gnawing and feeding by termite workers during food exploitation. The extract of the labial gland even

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elicited feeding behaviour when applied without food onto glass plates. These extracts were tested with both Reticulitermes santonensis and Schedorhinotermes lamanianus and proved to elicit a significant feeding preference in In view of this, Reinhard et al. the two species. suggested that the signal function of the labial gland secretion for food exploitation is phylogenetically old and The chemical signal has now been non-species specific. identified for the first time and has proved to work as a powerful feeding stimulant at natural low concentrations on a wide range of termite species. In view of this a class of compounds which stimulate termite feeding has been identified.

15 DISCLOSURE OF THE INVENTION

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According to a first aspect of the present invention there is provided a feeding stimulant for stimulating feeding activity in termites, comprising a compound having at least two OR groups, each of which is a substituent of an aryl moiety, and R is hydrogen or an organic group, and addition compounds thereof.

Where the feeding stimulant is a compound in which at least one R is an organic group it may have feeding stimulating activity or may be a pre-cursor of a compound with feeding stimulating activity.

In the former case, the organic group is preferably selected from the group consisting of alkyl, substituted alkyl, aryl or substituted aryl, and in the latter case is typically a compound which is hydrolysed to one having feeding stimulating activity, such as those in which the organic group is a carbohydrate moiety. β -Arbutin is one such compound. Polymers or oligomers such as polyphenylethers, as well as being long-lived in the

environment, will progressively hydrolyse to compounds having feeding stimulating activity.

Compounds having feeding stimulating activity typically have an aromatic nucleus substituted by said at least two OR groups.

Typically such compounds have the following general formula I:

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$$R_{6}$$

$$R_{5}$$

$$R_{4}$$

$$R_{3}$$

$$R_{3}$$

wherein R_1 is selected from the group consisting of hydrogen, alkyl, substituted alkyl, aryl, substituted aryl, aralkyl, and substituted aralkyl;

 R_2 , R_3 , R_4 , R_5 and R_6 are independently selected from the group consisting of hydrogen, hydroxyl, alkyl, substituted alkyl, alkoxy, substituted alkoxy, aryl, substituted aryl, aryloxy, substituted aryloxy, alkaryl, substituted alkaryl, alkaryloxy and substituted alkaryloxy, or R_2 and R_3 together, R_3 and R_4 together, R_4 and R_5 together and/or R_5 and R_6 together form an aryl group;

provided only that at least one of R_2 , R_3 , R_4 , R_5 or R_6 is hydroxyl, alkoxy, substituted alkoxy, aryloxy, substituted aryloxy, alkaryloxy or substituted alkaryloxy.

Preferably, R_1 is selected from the group consisting of hydrogen, alkyl, aryl and alkaryl.

More preferably, R₁ is selected from the group consisting of hydrogen, methyl, ethyl, phenyl and benzyl.

More preferably still, R_1 is hydrogen.

Preferably, R_2 , R_3 , R_4 , R_5 and R_6 are independently

selected from the group consisting of hydrogen, hydroxyl, alkyl, alkoxy, aryl, aryloxy, alkaryl, and alkaryloxy.

More preferably, R_2 , R_3 , R_4 , R_5 and R_6 are independently selected from the group consisting of hydrogen, hydroxyl, methyl, ethyl, methoxy, ethoxy, phenyl, phenoxy, benzyl and benzyloxy.

More preferably still, at least one of R_2 , R_3 , R_4 , R_5 or R_6 is hydroxyl. In particular, R_2 or R_6 , R_3 or R_5 or R_4 is typically hydroxyl.

Particularly preferred compounds for use in the present invention are selected from the group consisting of:

p-hydroquinone (1,4-dihydroxybenzene)
catechol (1,2-dihydroxybenzene)

resorcinol (1,3-diahydroxybenzene)
phloroglucinol (1,3,5-trihydroxybenzene)

4-methoxyphenol

methoxyhydroquinone (1-methoxy-2,5-dihydroxybenzene)

1,4-dimethoxybenzene

20 4-phenoxyphenol

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phenylhydroquinone

4-benzyloxyphenol

Moreover, addition compounds such as quinhydrone (an addition compound of 1 mole hydroquinone and 1 mole quinone) are also envisaged.

Alternatively, said compound may have a plurality of aryl moieties.

Preferably each said aryl moiety is a benzene ring and the compound is a polyphenylether. Typically, the polyphenylether is an ether of p-hydroquinone having between 2 and 5 p-hydroquinone residues.

The composition may further comprise a biologically acceptable carrier and/or extender.

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As used throughout the specification and claims the term "alkyl" refers to straight or branched chain alkyl radicals, preferably $C_1\text{-}C_{10}$ alkyl radicals and, more preferably, $C_1\text{-}C_4$ alkyl radicals.

As used throughout the specification and claims the term "substituted alkyl" refers to an alkyl radical substituted by any substituent, conveniently, by hydroxyl, alkoxy, carboxy, carboxyalkyl, carbamoyl, carbamido, amino, mono- or di- alkyl substituted amino, halogen, alkylcarbonyloxy or alkylcarbonylamino.

As used throughout the specification the term "aryl" refers to a six-membered carbocyclic aromatic ring or a five- or six-membered heterocyclic aromatic ring containing 1, 2 or 3 oxygen, nitrogen or sulphur atoms as the heteroatom, and includes fused ring systems containing a plurality of such rings.

As used throughout the specification and claims the "substituted aryl" refers to an aryl radical substituted by any substituent, conveniently, by hydroxyl, 20 alkoxy, carboxy, carboxyalkyl, carbamoyl, carbamido, amino, monodior alkyl substituted amino, halogen, alkylcarbonyloxy or alkylcarbonylamino.

As used throughout the specification and claims the term "alkoxy" refers to an alkoxy radical containing a straight or branched chain alkyl radicals, preferably C_1 - C_{10} alkyl radicals and, more preferably, C_1 - C_4 alkyl radicals.

As used throughout the specification and claims the term "substituted alkoxy" refers to an alkoxy radical substituted by any substituent, conveniently, by hydroxyl, alkoxy, carboxy, carboxyalkyl, carbamoyl, carbamido, amino, mono- or di- alkyl substituted amino, halogen, alkylcarbonyloxy or alkylcarbonylamino.

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As used throughout the specification and claims the term "aryloxy" refers to an aryloxy radical containing a six-membered carbocyclic aromatic ring or a five- or six-membered heterocyclic aromatic ring containing 1, 2 or 3 oxygen, nitrogen or sulphur atoms as the heteroatom, and includes fused ring systems containing a plurality of such rings.

As used throughout the specification and claims the term "substituted aryloxy" refers to an aryloxy radical substituted by any substituent, conveniently, by hydroxyl, alkoxy, carboxy, carboxyalkyl, carbamoyl, carbamido, amino, mono- or di- alkyl substituted amino, halogen, alkylcarbonyloxy or alkylcarbonylamino.

As used throughout the specification and claims the term "alkaryl" refers to an alkaryl radical comprising a straight or branched chain alkylene radical, preferably a C_1 - C_{10} alkylene radical and, more preferably, a C_1 - C_4 alkylene radical and a six-membered carbocyclic aromatic ring or a five- or six-membered heterocyclic aromatic ring containing 1, 2 or 3 oxygen, nitrogen or sulphur atoms as the heteroatom, and includes fused ring systems containing a plurality of such rings.

As used throughout the specification and claims the term "substituted alkaryl" refers to an alkaryl radical substituted by any substituent, conveniently, by hydroxyl, alkoxy, carboxy, carboxyalkyl, carbamoyl, carbamido, amino, mono- or di- alkyl substituted amino, halogen, alkylcarbonyloxy or alkylcarbonylamino.

As used throughout the specification and claims the 30 term "alkaryloxy" refers to an alkaryloxy radical containing a straight or branched chain alkyleneoxy group, preferably a C_1 - C_{10} alkyleneoxy group and, more preferably, C_1 - C_4 alkyleneoxy group, and a six-membered carbocyclic

aromatic ring or a five- or six-membered heterocyclic aromatic ring containing 1, 2 or 3 oxygen, nitrogen or sulphur atoms as the heteroatom, and includes fused ring systems containing a plurality of such rings.

- As used throughout the specification and claims the term "substituted alkaryloxy" refers to an alkaryloxy radical substituted by any substituent, conveniently, by hydroxyl, alkoxy, carboxy, carboxyalkyl, carbamoyl, carbamido, amino, mono- or di- alkyl substituted amino, halogen, alkylcarbonyloxy or alkylcarbonylamino.
 - As used throughout the specification and claims, the words "comprise", "comprises" and "comprising" are used in a non-exclusive sense, except where the context requires otherwise.
- According to a second aspect of the present invention there is provided a method of stimulating feeding activity in termites, comprising the steps of:
 - (1) providing a feeding stimulant as described above; and
- 20 (2) applying said feeding stimulant to a locus. Preferably, there is a food source at said locus.

According to a third aspect of the present invention there is provided a method of attracting termites to a locus, comprising the steps of:

- 25 (1) providing a food source at said locus,
 - (2) providing a feeding stimulant as described above; and
 - (3) applying said feeding stimulant to said locus.
- The compounds of general formula I act as a feeding stimulant and/or attractant to termite species, in particular, to Mastotermes darwiniensis, Coptotermes acinaciformis, Kalotermes flavicollis, Cryptotermes brevis, Hodotermes mossambicus, Zootermopsis angusticollis,

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Reticulitermes flavipes, Reticulitermes santonensis, Heterotermes indicola, Schedorhinotermes lamanianus, Coptotermes formosanus, Nasutitermes nigriceps, Nasutitermes exitiosus, Trinervitermes trinervoides and Macrotermes subhyalinus.

According to a fourth aspect of the present invention there is provided a bait for attracting termites, comprising:

(1) a food source; and

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10 (2) a feeding stimulant as described above.

Typically the food source is a source of cellulose such as paper, cardboard, canite, chipboard, and sound or fungally decayed wood. The compound of general formula I is applied to the bait matrix in any convenient manner, such as by spraying a solution of the compound on the bait matrix, soaking the bait matrix in such a solution or by admixture with a solid compound of general formula I.

The bait matrix may also contain synergists and other attractants, as well as beneficial components such as nitrogen-containing compounds, carbohydrates and the like as nutrients.

Where necessary, antioxidants such as BHT, BHA or tocopherols may be added to stabilise the active compound within the bait. A controlled release system for the compound of general formula I may be employed where desirable.

Preferably, the bait matrix includes added toxins such as chitin synthesis inhibitors, insect growth regulators and other termiticides. Alternatively, termiticidal substances can be applied to the bait matrix once it has been deployed in the field and has attracted a significant number of termites. In either case, it is preferred that the toxin be slow-acting and non-repellent so as to be

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transported into the nest by foragers and there distributed throughout the colony either via food exchange or mutual grooming between the nest mates.

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According to a fifth aspect of the present invention there is provided a termiticidal composition comprising:

(1) a termiticidal substance; and

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(2) a feeding stimulant as described above.

According to a sixth aspect of the present invention there is provided a compound having at least two OR groups,

10 each of which is a substituent of an aryl moiety, and R is hydrogen or an organic group, and addition compounds thereof, when used for stimulating feeding activity in termites.

According to a seventh aspect of the present invention there is provided a compound having at least two OR groups, each of which is a substituent of an aryl moiety, and R is hydrogen or an organic group, and addition compounds thereof, when used to attract termites to a locus.

According to an eighth aspect of the present invention

there is provided the use of a compound having at least two

OR groups, each of which is a substituent of an aryl

moiety, and R is hydrogen or an organic group, and addition

compounds thereof, in stimulating feeding activity in

termites.

According to a ninth aspect of the present invention there is provided the use of a compound having at least two OR groups, each of which is a substituent of an aryl moiety, and R is hydrogen or an organic group, and addition compounds thereof, in attracting termites to a locus.

According to a tenth aspect of the present invention there is provided the use of a compound in the manufacture of a bait for attracting termites, said compound having at least two OR groups, each of which is a substituent of an

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aryl moiety, and R is hydrogen or an organic group, and addition compounds thereof.

According to an eleventh aspect of the present invention there is provided the use of a compound in the manufacture of a termiticidal composition, said compound having at least two OR groups, each of which is a substituent of an aryl moiety, and R is hydrogen or an organic group, and addition compounds thereof.

Typically, the compound having at least two OR groups 10 is a compound of general formula I as described above.

It has been found that para-hydroquinone is the natural feeding stimulant, but exists in the labial glands of termites almost entirely as its glucose conjugate, 4-hydroxyphenyl-ß-D-glucopyranoside, which is commonly called β -arbutin. β -Arbutin and glucose conjugates of the other compounds of general formula I may also be used in the invention described above. In particular, β -arbutin or glucose conjugates of the other compounds of formula I can be incorporated into a bait matrix and, through slow decay generating an active compound of general formula I, could act as a slow-release system.

BEST MODE FOR CARRYING OUT THE INVENTION

Preferred embodiments of the invention will now be described, by way of example only, with reference to the following examples.

Example 1 - Use of Labial Glands Extracts as Termite Attractants

In order to prepare labial gland extracts, termites were killed and the paired labial glands were removed. The labial glands were disrupted by freezing them for 15 minutes at -20°C and extracted with 0.6 ml of water for 12

hours at room temperature. Then the extract was frozen at -20°C until used. The labial gland extracts prepared and tested are listed in Table I. Each extract was chemically analysed for the presence of para-hydroquinone, and it was found to be present in all. Selected extracts were used in a bioassay to establish feeding choice, as indicated in Table 1, below.

Table 1: Labial gland extracts prepared and tested

Termite species	No. of glands	Chemically	Pioneon
remitte species	Extracted	Analysed	Bioassayed
Kalotermes flavicollis	40	+	
Cryptotermes brevis	70	+	+
Mastotermes darwiniensis	30	+	+
Hodotermes mossambicus	40	+	
Zootermopsis angusticollis	40	+	
Reticulitermes flavipes	70	+	+
Reticulitermes santonensis	70	+	+
Heterotermes indicola	120	+	
Schedorhinotermes lamanianus	60	+	
Coptotermes formosanus	70	+	+
Coptotermes acinaciformis	80	+	+
Nasutitermes nigriceps	60	+	
Nasutitermes exitiosus	70	+	+
Trinervitermes trinervoides	30	+	
Macrotermes subhyalinus	40	+	

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The methodology employed in the choice tests was that used by Reinhard et al. *supra*. In these experiments the termites were housed in a suitable container with access via a silicone tube to a foraging arena. In each experiment two semicircles of moist filter paper (2.5cm in diameter) were placed close beside each other in the arena. One of the two semicircles was randomly chosen for application of one of the 25µl aliquots of labial gland extract and then

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The other semicircle was just moistened with water. moistened. Feeding in termites is expressed by gnawing behaviour, which can be easily recognised by hypognathous head positions wherein the termites bore their mandibles into the food and wriggle their heads trying to tear off little pieces, which they can then transport back to the nest.

The distribution of the first 20 gnawing/feeding termites on the semicircles was registered. For example, it 10 was observed that 19 of 20 Mastotermes darwiniensis termites responded by gnawing and eating the filter paper treated with one equivalent of its labial gland secretion while only one termite responded to the Similarly, 18 of 20 C. acinaciformis termites responded by 15 gnawing and eating the filter paper treated with 2.5 equivalents of its labial gland secretion while 2 responded to the control. A further important observation was that termites of selected species also responded strongly in the bioassay to labial gland secretion from an unrelated 20 species. For instance, C. acinaciformis termites responded to a test paper treated with one equivalent of darwiniensis gland secretion while M. darwiniensis termites responded to a test paper treated with 2.5 equivalents of acinaciformis gland secretion. These demonstrate that the labial gland extract is a non-specific feeding stimulant for termites. The results are summarised in Table 2.

Table 2: Natural lures

Termite species Responding to lure	Origin of labial gland Extract	Quantity of extract (gland equivalents)	Response	
M.darwiniensis	M.darwiniensis	1	+++	
	C.acinaciformis	2.5	+++	
C.acinaciformis	M.darwiniensis	1	+++	
	C.acinaciformis	2.5	+++	

An analysis of the labial gland extract shows that para-hydroquinone is present at low levels, usually less than 10^{-10} grams per gland, but is present at much higher concentrations in the saliva. β -arbutin is present in high concentrations in the glands but is no longer evident in the saliva. Presumably β -arbutin is broken down enzymatically into para-hydroquinone and glucose during release of the termite's saliva, hence it was postulated that para-hydroquinone was the principal chemical feeding stimulant.

Example 2 - Synthetic Compounds as Termite Attractants

15 Feeding choice tests were conducted with parahydroquinone and a number of related chemical substances in the manner described above in Example 1. The experimental data is summarised in Table 3.

Table 3: Synthetic lures

Termite species		Quantity	
responding to	Compound	in	Response
lure		Lure[ng]	j
M.darwiniensis	p-hydroquinone	5	+++
	Quinhydrone	5	++
	Catechol	5	-/+
	Resorcinol	5	+
	Phloroglucinol	5	-/+
	4-methoxyphenol	5	+
	Methoxyhydroquinone	5	+
	1,4-dimethoxybenzene	5	++
	4-phenoxyphenol	5	-/+
	phenylhydroquinone	5	+
	polyphenylether*	5	+
	4-benzyloxyphenol	5	-/+
C.acinaciformis	p-hydroquinone	1	+++
	quinhydrone	5	++
	catechol	5	++
	resorcinol	5	++
	phloroglucinol	5	++
	4-methoxyphenol	5	+
	methoxyhydroquinone	5	+
	1,4-dimethoxybenzene	5	+
	4-phenoxyphenol	5	-/+
	phenylhydroquinone	5	_
,	polyphenylether*	5	_
	4-benzyloxyphenol	5	_
S.actuosus	p-hydroquinone	5	+++
C.brevis	p-hydroquinone	5	+++
N.exitiosus	p-hydroquinone	5	+++
R.santonensis	p-hydroquinone	5	+++
R.flavipes	p-hydroquinone	5	+++
C. formosanus	p-hydroquinone	5	+++

^{*} Mixture comprising mainly a pentamer of phydroquinone, but including dimer and trimer of p-5 hydroquinone as impurities.

synthetic lures were tested, none of principal labial gland constituents (glucose, inositols, β feeding stimulation, except at elicited any arbutin) unnaturally high concentrations where they probably served a nutritional role as food supplements. hydroquinone elicited feeding stimulation at natural trace levels in the laboratory bioassays. For instance the threshold for attraction was 5 nanograms p-hydroquinone (50 picomoles) for M. darwiniensis and 100 picograms phydroquinone (1 picomole) for C. acinaciformis. Thus, there are different lower thresholds of feeding stimulation for different termite species.

Synthetic compounds somewhat related in molecular structure to hydroquinone also elicited feeding responses from *M. darwiniensis* and *C. acinaciformis* in the laboratory bioassays, as shown in Table 3.

Example 3 - Mode of Attraction

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The mode of attraction of termites to the parahydroquinone source may well include both olfactory and 20 gustatory stimulation. attractivity of The hydroquinone over distance (olfactory perception) tested both in empty and sand-filled plastic arenas (ID 14.5 cm, height 1 cm, covered with a glass plate), which were attached via a silicone tube to the housing container 25 Tests were carried out with termites. darwiniensis and C. acinaciformis. Per test, two treated filter papers (25ng - 25µg p-hydroquinone and water as control, respectively) were placed in opposite positions in the arenas. The direction of the tunnel/galleries built and 30 the behaviour of a foraging termites in reference to the position of the filter papers were evaluated. In all tests both termite species built tunnels/galleries in direction

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to the p-hydroquinone-treated filter paper, never towards the control filter paper. When foraging the termites usually walked slowly in a zigzag way, but when in proximity of the source of p-hydroquinone (ca. 5-6 cm), their behaviour changed suddenly: they walked straight and to the treated filter paper. Based observational data we concluded that the vapour of pcreates "active space" hydroquinone an of several centimetres, which once perceived directs the termites towards the source of the vapour by the concentration gradient. This active space did not get larger with increased p-hydroquinone concentration.

Example 4 - Choice Feeding Tests

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15 Laboratory colonies of Mastotermes darwiniensis and Coptotermes acinaciformis have been tested in a choice feeding test (mimicking an actual bait situation in the field) with pieces of Eucalyptus regnans wood (ca. 3.5g). The colonies (ca. 500 termites in M. darwiniensis, 2000 20 termites in C. acinaciformis) were housed in plastic Plastic arenas of 5cm diameter, 3.5cm high containers. were attached with perspex tubes on opposite sides of the colony container. In these arenas the wood was offered: one treated with 20ng p-hydroquinone, dissolved in water, 25 the other just moistened as control. The wood was dried and weighed before and after the test, the difference in weight as the amount eaten by termites was analysed after 3 days, 1 week and 4 weeks.

After 3 days and one week both *M. darwiniensis* and *C.*30 acinaciformis had eaten significantly more of the wood treated with the feeding stimulant than of the control (See Table 4). After 4 weeks the effect was gone. Therefore phydroquinone does act as feeding stimulant in a choice

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feeding test, although as only a little p-hydroquinone was applied, the effect was only short-term. This could be improved when testing the signal in the field under natural conditions and with complete termite colonies.

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Table 4: Laboratory choice feeding tests with Mastotermes darwiniensis and Coptotermes acinaciformis: Amount wood eaten [g] after 3 days, one week and four weeks, comparing wood treated with 20ng p-hydroquinone to control (mean ± sd, n=20, Wilcoxon-Matched-Pairs-Test, ***: significant difference at p<0.001, n.s.: no significant difference).

Species	Duration of trial	Treated wood eaten [g]	Control wood eaten [g]	P
	3 days	0.234±0.139	0.121±0.108	***
M.darwiniensis	1 week	0.737±0.557	0.506±0.527	**
	4 weeks	2.397±0.968	2.255±0.918	n.s.
	3 days	0.056±0.0.36	0.032±0.033	**
C.acinaciformis	1 week	0.185±0.159	0.096±0.109	·**
	4 weeks	1.162±0.851	1.209±0.929	n.s.

Example 5 - Field Trials

Colonies of Coptotermes lacteus (ACT), Coptotermes acinaciformis (NT) and Mastotermes darwiniensis (NT) have been used for large baiting trials in the field. Furthermore Coptotermes frenchi (ACT), Nasutitermes exitiosus (NSW), Schedorhinotermes actuosus Coptotermes travians (Malaysia) and Coptotermes curvignathus (Malaysia) have been tested exemplarily at infestation sites in urban areas and in the field. Paper towel of ca. 10g was used as bait matrix. It was either treated with 20µg hydroquinone (dissolved in water) or moistened with water only (=control). The paper was folded and stuffed in plastic tubes. Termites had access to the bait material through holes drilled into the tubes.

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control bait each were placed treated and one feeding/infestation sites of the field colonies. In case of the larger field trials, up to 24 colonies per species had been selected, and drums filled with wood had been dug into the soil around colonies as feeding sites. Baits were placed on top of the infested drums and covered with plastic foil and soil. In case of the exemplary trials single infestation sites have been selected and the baits were attached directly onto the infestation and covered with plastic foil and soil, or cardboard to ensure minimum disturbance. Baits were checked after 1 to 4 days or after 2 weeks, depending on species and activity. The amount of paper eaten and the number of termites were analysed.

As usual in natural field colonies there was a strong between colonies, therefore variation of data statistical analysis data had to be transformed into log and square root, respectively. In the large field trials C. lacteus, M. darwiniensis and C. acinaciformis had all consumed significantly more of the bait material and there were more termites attracted to the baits, when hydroquinone had been applied (See Table 5). The exemplary tests with C. frenchi, S. actuosus, C. travians and C. curvignathus all indicated increased feeding activity on treated baits over control baits (See Table 6). Tests with 25 N. exitiosus showed no feeding activity even after long exposure, due to the difficult dietary preferences of this However, we could still show increased termite presence in treated baits compared to control baits (See Table 6). We therefore conclude that hydroquinone in fact acts also under natural conditions in the field as strong 30 and effective attractant and feeding stimulant on various termite species, when added to baits.

Table 5: Field baiting trials with Coptotermes lacteus (ACT), Coptotermes acinaciformis (NT) and Mastotermes darwiniensis (NT). (W): Amount bait material eaten [g] and (No): number of termites [N] in baits, comparing baits treated with 20µg hydroquinone to control baits (mean ± SE, Paired Samples T-test, ***: significant difference at p<0.001, data transformed to log or sqrt for statistical analysis).

Species	Trial	N		Treated Bait	Control Bait	P
0.1	2 42.00	17	W[g]	0.392±0.156	0.181±0.099	***
C.lacteus	3 days	17	No[N]	269.7±169.1	198.9±147.7	***
w 3	2 3	1,2	W[g]	0.766±0.164	0.368±0.126	**
M.darwiniensis	2 days	12	No[N]	18.5±3.6	7.7±2.9	**
	2 3	1.5	W[g]	0.056±0.010	0.035±008	**
C.acinaciformis	2 days	16	No[N]	120.6±29.5	84.1±20.0	***

Table 6: Exemplary field baiting trials with Coptotermes frenchi (ACT), Schedorhinotermes actuosus (NT), Coptotermes travians (Malaysia), Coptotermes curvignathus (Malaysia) and Nasutitermes exitiosus (NSW). Proportion bait material eaten [%] or termite presence, respectively, comparing baits treated with 20µg hydroquinone to control baits.

Species	Trial	n	Proportion eaten/termite presence (treated bait)	Proportion eaten/termite presence (control bait)	
C. frenchi	2 weeks	3	30%	5%	
	•	•	. 90%	0%	
			30%	. 0%	
S. actuosus	4 days	1	5%	0%	
C. travians	1 day	2	20%	20%	
		1	60%	40%	
C. curvignathus	1 day	3	95%	20%	
			50%	5%	
N. exitiosus	2 weeks	4	Termites present	Not touched	
	A	•	Termites present	Not touched	
			Termites present	Not touched	
			Termites present	Not touched	

INDUSTRIAL APPLICABILITY

The compounds of the present invention are useful in stimulating feeding activity in termites so as to enhance the effectiveness of termite baits.

THE CLAIMS DEFINING THE INVENTION ARE AS FOLLOWS:

- 1. A feeding stimulant for stimulating feeding activity in termites, comprising a compound having at least two OR groups, each of which is a substituent of an aryl moiety, and R is hydrogen or an organic group, and addition compounds thereof.
- 2. A feeding stimulant as claimed in claim 1 wherein at least one R is an organic group and said compound has feeding stimulating activity.
 - 3. A feeding stimulant as claimed in claim 2 wherein said organic group is selected from the group consisting of alkyl, substituted alkyl, aryl, substituted aryl, aralkyl and substituted aralkyl.
 - 4. A feeding stimulant as claimed in claim 1 wherein at least one R is an organic group and said compound is a precursor of a compound with feeding stimulating activity.

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- 5. A feeding stimulant as claimed in claim 4 wherein said compound is hydrolysed to a compound in which said at least one R is hydrogen.
- 25 6. A feeding stimulant as claimed in claim 5 wherein said organic group is a carbohydrate moiety.
 - 7. A feeding stimulant as claimed in claim 6 wherein said compound is β -arbutin.

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8. A feeding stimulant as claimed in claim 1 wherein said compound has an aromatic nucleus substituted by said at least two OR groups.

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9. A feeding stimulant as claimed in claim 8 wherein said compound has the following general formula I:

wherein R_1 is selected from the group consisting of hydrogen, alkyl, substituted alkyl, aryl, substituted aryl, aralkyl and substituted aralkyl;

 R_2 , R_3 , R_4 , R_5 and R_6 are independently selected from the group consisting of hydrogen, hydroxyl, alkyl, substituted alkyl, alkoxy, substituted alkoxy, aryl, substituted aryl, aryloxy, substituted aryloxy, alkaryl, substituted alkaryl, alkaryloxy and substituted alkaryloxy, or R_2 and R_3 together, R_3 and R_4 together, R_4 and R_5 together and/or R_5 and R_6 together form an aryl group;

provided only that least one of R_2 , R_3 , R_4 , R_5 or R_6 is hydroxyl, alkoxy, substituted alkoxy, aryloxy, substituted aryloxy, alkaryloxy or substituted alkaryloxy.

- 10. A feeding stimulant as claimed in claim 9 wherein R_1 is selected from the group consisting of hydrogen, alkyl, aryl and alkaryl.
 - 11. A feeding stimulant as claimed in claim 10 wherein R_1 is selected from the group consisting of hydrogen, methyl, ethyl, phenyl and benzyl.
 - 12. A feeding stimulant as claimed in claim 11 wherein R_1 is hydrogen.

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13. A feeding stimulant as claimed in any one of claims 9 to 12 wherein R_2 , R_3 , R_4 , R_5 and R_6 are independently selected from the group consisting of hydrogen, hydroxyl, alkyl, alkoxy, aryl, aryloxy, alkaryl, and alkaryloxy.

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14. A feeding stimulant as claimed in claim 13 wherein R_2 , R_3 , R_4 , R_5 and R_6 are independently selected from the group consisting of hydrogen, hydroxyl, methyl, ethyl, methoxy, ethoxy, phenyl, phenoxy, benzyl and benzyloxy.

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- 15. A feeding stimulant as claimed in claim 14 wherein R_2 or R_6 is hydroxyl.
- 16. A feeding stimulant as claimed in claim 14 wherein R_3 or R_5 is hydroxyl.
 - 17. A feeding stimulant as claimed in claim 14 wherein R_4 is hydroxyl.
- 20 18. A feeding stimulant as claimed in claim 1 wherein said compound is selected from the group consisting of:

p-hydroquinone
quinhydrone

catechol

25 resorcinol
phloroglucinol
4-methoxyphenol
methoxyhydroquinone
1,4-dimethoxybenzene

4-phenoxyphenol
phenylhydroquinone
4-benzyloxyphenol

- 19. A feeding stimulant as claimed in claim 1 wherein said compound has a plurality of aryl moieties.
- 20. A feeding stimulant as claimed in claimed 19 wherein each said aryl moiety is a benzene ring.
 - 21. A feeding stimulant as claimed in claim 20 wherein said compound is a polyphenylether.
- 10 22. A feeding stimulant as claimed in any one of claims 1 to 21 further comprising a biologically acceptable carrier and/or extender.
- 23. A method of stimulating feeding activity in termites,15 comprising the steps of:
 - (1) providing a feeding stimulant as claimed in any one of claims 1 to 22; and
 - (2) applying said feeding stimulant to a locus.
- 20 24. A feeding stimulant as claimed in claim 23 wherein there is a food source at said locus.
 - 25. A method of attracting termites to a locus, comprising the steps of:
- 25 (1) providing a food source at said locus;
 - (2) providing a feeding stimulant as claimed in any one of claims 1 to 22; and
 - (3) applying said feeding stimulant to said locus.
- 30 26. A bait for attracting termites, comprising:
 - (1) a food source; and
 - (2) a feeding stimulant as claimed in any one of claims 1 to 22.

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- 27. A bait as claimed in claim 26 wherein said food source is a source of cellulose.
- 28. A bait as claimed in claim 27 wherein said food 5 source is selected from the group consisting of paper, cardboard, canite, chipboard, sound wood and fungally decayed wood.
- 29. A bait as claimed in any one of claims 26 to 28 further comprising a termiticidal substance.
 - 30. A bait as claimed in claim 29 in which said termiticidal substance is a chitin synthesis inhibitor or an insect growth regulator.

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- 31. A bait as claimed in any one of claims 26 to 30 further comprising an antioxidant.
- 32. A bait as claimed in any one of claims 26 to 31 further comprising a synergist and/or other attractants.
 - 33. A bait as claimed in any one of claims 26 to 32 further comprising nutrients such as nitrogen-containing compounds and carbohydrates.

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- 34. A termiticidal composition comprising:
 - (1) a termiticidal substance; and
- (2) a feeding stimulant as claimed in any one of claims 1 to 22.

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35. A termiticidal composition as claimed in claim 34 wherein said termiticidal substance is a chitin synthesis inhibitor or insect growth regulator.

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36. A compound having at least two OR groups, each of which is a substituent of an aryl moiety, and R is hydrogen or an organic group, and addition compounds thereof, when used for stimulating feeding activity in termites.

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- 37. A compound as claimed in claim 36 of general formula I as defined in claim 9.
- 38. A compound having at least two OR groups, each of which is a substituent of an aryl moiety, and R is hydrogen or an organic group, and addition compounds thereof, when used to attract termites to a locus.
- 39. A compound as claimed in claim 38 of general formula15 I as defined in claim 9.
 - 40. The use of a compound having at least two OR groups, each of which is a substituent of an aryl moiety, and R is hydrogen or an organic group, and addition compounds thereof, in stimulating feeding activity in termites.
 - 41. The use of a compound as claimed in claim 40 wherein said compound is of general formula I as defined in claim 9.

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42. The use of a compound having at least two OR groups, each of which is a substituent of an aryl moiety, and R is hydrogen or an organic group, and addition compounds thereof, in attracting termites to a locus.

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43. The use of a compound as claimed in claim 42 wherein said compound is of general formula I as defined in claim 9.

44. The use of a compound in the manufacture of a bait for attracting termites, said compound having at least two OR groups, each of which is a substituent of an aryl moiety, and R is hydrogen or an organic group, and addition compounds thereof.

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45. The use of compound as claimed in claim 44 wherein said compound is of general formula I as defined in claim 9.

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- 46. The use of a compound in the manufacture of a termiticidal composition, said compound having at least two OR groups, each of which is a substituent of an aryl moiety, and R is hydrogen or an organic group, and addition compounds thereof.
- 47. The use of a compound as claimed in claim 46 wherein said compound is of general formula I as defined in claim 9.

INTERNATIONAL SEARCH REPORT

International application No.

			PCT/AU 99/01033		
A.	CLASSIFICATION OF SUBJECT MATTER				
Int Cl ⁶ :	A01N 31/16				
According to	International Patent Classification (IPC) or to bot	h national classification and	IPC		
В.	FIELDS SEARCHED				
Minimum docu A01N 31/16	umentation searched (classification system followed by	classification symbols)			
Documentation AU IPC as a	a searched other than minimum documentation to the exabove	ctent that such documents are in	cluded in the fields searched		
Derwent (W.	base consulted during the international search (name of PAT), Chemical Abstracts and Agricola (terminesorcinol OR phloroglucinol OR dimethoxybenze	ite AND (arbutin OR hydroqi			
C.	DOCUMENTS CONSIDERED TO BE RELEVAN	Т			
Category*	Citation of document, with indication, where ap	propriate, of the relevant pas	sages Relevant to claim No.		
х	Patent Abstracts of Japan, C-199, page 107, JP 58-157703 A (TAKEDA YAKUHIN KOGYO K.K.) 19 September 1983 (see entire abstract) 46-47				
x	Patent Abstract of Japan, C-856, page 10, JP 03 CORP) 14 May 1991 (see entire abstract)	-112903 A (NITTO DENKO	38-39, 42-43		
х	AU-B-10625/83 (563232) (Montedison S.p.A.) (see entire specification, in particular page 4 lin		46-47		
X	Further documents are listed in the continuation of Box C	X See patent f	amily annex		
* Special categories of cited documents: "A" document defining the general state of the art which is not considered to be of particular relevance "E" earlier application or patent but published on or after the international filing date "L" document defining the general state of the art which is not considered to be of particular relevance "E" earlier application or patent but published on or after the international filing date "L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified) "O" document referring to an oral disclosure, use, exhibition or other means "P" document published after the international filing date understand the principle or theory underlying the invention document of particular relevance; the claimed invention can document of particular relevance; the claimed invention can be considered to involve an inventive step when the document of particular relevance; the claimed invention can document referring to an oral disclosure, use, exhibition or other means "P" document published after the international filing date understand the principle or theory underlying the invention document of particular relevance; the claimed invention can document of particular relevance; the c					
	ual completion of the international search	Date of mailing of the internate 18 JAN 200			
Name and mail AUSTRALIAN PO BOX 200, E-mail address	ling address of the ISA/AU I PATENT OFFICE WODEN ACT 2606, AUSTRALIA :: pct@ipaustralia.gov.au (02) 6285 3929	Authorized officer NORMAN BLOM Telephone No.: (02) 6283 223	ACW)		

INTERNATIONAL SEARCH REPORT

International application No.

PCT/AU 99/01033

C (Continua		
Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
	Chemical Abstracts, (1982), 97(15), 222-223, abstract no 122002a, Columbus, Ohio, USA, (Yaga Shiryo, "Termite resistance of Okinawan timbers. VIII. New termite control agents from reduced baryonic acid depictations." Moltural College (1982), 28(6), 202, 203, 203, (Israeland).	
	reduced benzoic acid derivatives", Mokuzai Gakkaishi (1982), 28(6), 393-398 (Japanese)). (see entire abstract)	
X		46-47
	Derwent Abstract Accession No 89-110592/15, Class C03, JP 01056606 A (TOHOKU KAKO KK) 3 March 1989	46.4
X	(see entire abstract)	46-47
	Derwent Abstract Accession No 94-102240/13, Class C03, DE 4231045 A (DESOWAG MATERIALSCHUTZ GMBH) 24 March 1994	
X	(see entire abstract)	46-47
	Derwent Abstract Accession No 93-348296/44, Class C03, JP 05255007 A (Tufty GmbH) 5 October 1993	
X	(see entire abstract)	46-47
	Aldrich Catalog Handbook of Fine Chemicals 1990-1991, Aldrich Chemical Company, Inc, Milwaukee, Wisconsin, USA	
Х	(see product numbers P3,800-5 (phloroglucinol, page 1054), H1,790-2 (hydroquinone, page 717), 28,296-0 (quinhydrone, page 1127), 13,501-1 (catechol, page 268), R40-6 (resorcinol, page 1132), M1,865-5 (4-methoxyphenol, page 842), D13,135-0 (dimethoxybenzene, page 491), 23,066-9 (4-phenoxyphenol, page 1029), 22,781-1 (phenylhydroquinone, page 1041))	1-5, 8-18
	(see product number 23,664-0 (1,4-dihydroxynaphthalene, page 479)	19-20
x	Kodak Catalog no. 46, Eastman Organic Chemicals, Rochester, New York, USA 1971 (see product number 11206 (poly-m-phenoxylene, page 159)	21
x	Sigma Chemical Company Catalog of Biochemicals Organic Compounds for Research, 1993 (see product number A4256 (arbutin, page 126)	6-7

INTERNATIONAL SEARCH REPORT

Information on patent family members

International application No. PCT/AU 99/01033

This Annex lists the known "A" publication level patent family members relating to the patent documents cited in the above-mentioned international search report. The Australian Patent Office is in no way liable for these particulars which are merely given for the purpose of information.

Patent Do	cument Cited in Search Report			Patent	Family Member		
DE	4231045	FR	265539				
ΑÜ	10625/83	BR	8300306	IT	8219237	JP	58128302
		ZA	8300399	СН	643223		
JP	58157703	NONE					
JP	03112903	NONE					
JP	01056606	NONE					
JP	05255007	NONE					
				_			END OF ANNEX